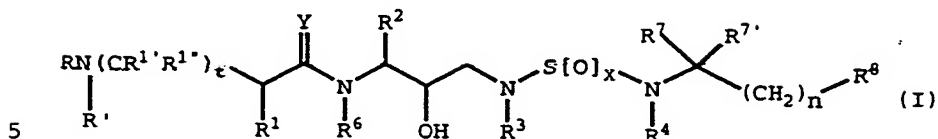


WHAT IS CLAIMED IS:

1. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof wherein:

- 10 R represents hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, alkoxycarbonyl, alkoxycarbonyl, aryloxyalkyl, heteroaryloxyalkyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, 15 aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy carbonyl, heteroaroyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, 20 and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or 25 wherein said aminocarbonyl and aminoalkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;
- 30 R' represents hydrogen, radicals as defined for R<sup>3</sup> or R<sup>n</sup>SO<sub>2</sub>- wherein R<sup>n</sup> represents radicals as defined for R<sup>3</sup>; or R and R' together with the nitrogen to which they are

attached represent heterocycloalkyl and heteroaryl radicals;

5 R<sup>1</sup> represents hydrogen, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>CH<sub>3</sub>,  
-CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SH), -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>),  
-C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, haloalkyl,  
alkenyl, alkynyl and cycloalkyl radicals, and amino acid  
side chains selected from asparagine, S-methyl cysteine  
and methionine and the sulfoxide (SO) and sulfone (SO<sub>2</sub>)  
10 derivatives thereof, isoleucine, allo-isoleucine,  
alanine, leucine, tert-leucine, phenylalanine, ornithine,  
histidine, norleucine, glutamine, threonine, glycine,  
allo-threonine, serine, O-alkyl serine, aspartic acid,  
beta-cyanoalanine and valine side chains;

15 R<sup>1'</sup> and R<sup>1''</sup> independently represent hydrogen and radicals  
as defined for R<sup>1</sup>, or one of R<sup>1'</sup> and R<sup>1''</sup>, together with  
R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are  
attached, represent a cycloalkyl radical;

20 R<sup>2</sup> represents alkyl, aryl, cycloalkyl, cycloalkylalkyl  
and aralkyl radicals, which radicals are optionally  
substituted with a group selected from alkyl and halogen  
radicals, -NO<sub>2</sub>, -CN, -CF<sub>3</sub>, -OR<sup>9</sup> and -SR<sup>9</sup>, wherein R<sup>9</sup>

25 represents hydrogen and alkyl radicals, and halogen  
radicals;

R<sup>3</sup> represents alkyl, haloalkyl, alkenyl, alkynyl,  
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,  
30 heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,  
aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and  
disubstituted aminoalkyl radicals, wherein said  
substituents are selected from alkyl, aryl, aralkyl,  
cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl,  
35 heterocycloalkyl, and heterocycloalkylalkyl radicals, or  
in the case of a disubstituted aminoalkyl radical, said

substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

R<sup>4</sup> represents hydrogen and radicals as defined by R<sup>3</sup>;

R<sup>6</sup> represents hydrogen and alkyl radicals;

R<sup>7</sup> and R<sup>7'</sup> independently represent hydrogen and radicals as defined for R<sup>3</sup>; amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and t-butylglycine; radicals represented by the formulas -C(O)R<sup>16</sup>, -CO<sub>2</sub>R<sup>16</sup>, -SO<sub>2</sub>R<sup>16</sup>, -SR<sup>16</sup>, -CONR<sup>16</sup>R<sup>17</sup>, -CF<sub>3</sub> and -NR<sup>16</sup>R<sup>17</sup>; or R<sup>7</sup> and R<sup>7'</sup> together with the carbon atom to which they are attached form a cycloalkyl radical;

R<sup>8</sup> represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R<sup>16</sup>, CO<sub>2</sub>R<sup>16</sup>, SO<sub>2</sub>R<sup>16</sup>, SR<sup>16</sup>, CONR<sup>16</sup>R<sup>17</sup>, CF<sub>3</sub> and NR<sup>16</sup>R<sup>17</sup>;

wherein R<sup>16</sup> and R<sup>17</sup> independently represent hydrogen and radicals as defined for R<sup>3</sup>, or R<sup>16</sup> and R<sup>17</sup> together with a nitrogen to which they are attached in the formula NR<sup>16</sup>R<sup>17</sup> represent heterocycloalkyl and heteroaryl radicals;

x represents 1 or 2;

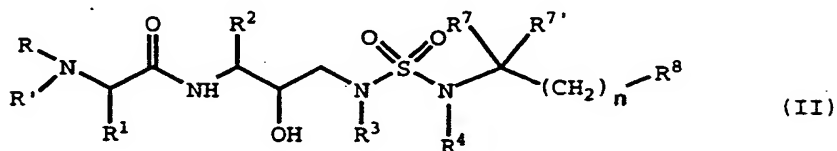
n represents an integer of from 0 to 6;

t represents either 0, 1 or 2; and

Y represents O, S and NR<sup>15</sup> wherein R<sup>15</sup> represents hydrogen and radicals as defined for R<sup>3</sup>;

2. Compound represented by the formula:

5



wherein:

- 10 R represents hydrogen, alkyl, alkenyl, cycloalkyl, hydroxyalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, alkoxycarbonyl, alkoxyalkyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, 15 aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, 20 heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, 25 heterocycloalkyl, heterocycloalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

30

R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are

attached represent heterocycloalkyl and heteroaryl radical;

- 5 R<sup>1</sup> represents hydrogen, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>CH<sub>3</sub>,  
-CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SH), -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>),  
-C(CH<sub>3</sub>)<sub>2</sub>(S(O)CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S(O)<sub>2</sub>CH<sub>3</sub>), alkyl, haloalkyl,  
alkenyl, alkynyl and cycloalkyl radicals, and amino acid  
side chains selected from asparagine, S-methyl cysteine  
and methionine and the sulfoxide (SO) and sulfone (SO<sub>2</sub>)  
10 derivatives thereof, isoleucine, allo-isoleucine,  
alanine, leucine, tert-leucine, phenylalanine, ornithine,  
histidine, norleucine, glutamine, threonine, glycine,  
allo-threonine, serine, O-methyl serine, aspartic acid,  
beta-cyanoalanine and valine side chains;  
15  
R<sup>2</sup> represents alkyl, aryl, cycloalkyl, cycloalkylalkyl  
and aralkyl radicals, which radicals are optionally  
substituted with a group selected from alkyl and halogen  
radicals, -NO<sub>2</sub>, -C≡N, CF<sub>3</sub>, -OR<sup>9</sup>, -SR<sup>9</sup>, wherein R<sup>9</sup>  
20 represents hydrogen and alkyl radicals;  
  
R<sup>3</sup> represents alkyl, haloalkyl, alkenyl, alkynyl,  
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,  
heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,  
25 aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and  
disubstituted aminoalkyl radicals, wherein said  
substituents are selected from alkyl, aryl, aralkyl,  
cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl,  
heterocycloalkyl, and heterocycloalkylalkyl radicals, or  
30 in the case of a disubstituted aminoalkyl radical, said  
substituents along with the nitrogen atom to which they  
are attached, form a heterocycloalkyl or a heteroaryl  
radical, and thioalkyl, alkylthioalkyl and arylthioalkyl  
and the sulfone and sulfoxide derivatives thereof;  
35  
R<sup>4</sup> represents hydrogen and radicals as defined by R<sup>3</sup>;

- $R^7$  and  $R^{7'}$  independently represent radicals as defined for  $R^3$  and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-  
5 isoleucine, asparagine, leucine, glutamine, and t-butylglycine or  $R^7$  and  $R^{7'}$  together with the carbon atom to which they are attached form a cycloalkyl radical;
- 10  $R^8$  represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas  $C(O)R^{16}$ ,  $CO_2R^{16}$ ,  $SO_2R^{16}$ ,  $SR^{16}$ ,  $CONR^{16}R^{17}$ ,  $CF_3$  and  $NR^{16}R^{17}$ ;
- 15 wherein  $R^{16}$  and  $R^{17}$  independently represent hydrogen and radicals as defined for  $R^3$ , or  $R^{16}$  and  $R^{17}$  together with a nitrogen to which they are attached in the formula  $NR^{16}R^{17}$  represent heterocycloalkyl and heteroaryl radicals;
- 20  $n$  represents an integer of from 0 to 6.

3. Compound of Claim 2 wherein  $R$  represents hydrogen, alkyl, alkenyl, cycloalkyl, aryl, aralkyl,  
25 alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkyl alkoxy carbonyl, cycloalkyl alkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonyl alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyloxy carbonyl,  
30 heterocyclyl alkanoyl, heterocyclyl alkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, heteroaroyl, aryloxy alkyl, heteroaryloxy alkyl, hydroxy alkyl, aminocarbonyl, amino alkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted  
35 amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl,

cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

R<sup>1</sup> represents CH<sub>2</sub>C(O)NHCH<sub>3</sub>, C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, alkenyl and alkynyl radicals, and amino acid side chains selected from the group consisting of asparagine, valine, threonine, allo-threonine, isoleucine, tert-leucine, S-methyl cysteine and the sulfone and sulfoxide derivatives thereof, alanine, and allo-isoleucine;

R<sup>2</sup> represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR<sup>9</sup> and -SR<sup>9</sup> wherein R<sup>9</sup> represents alkyl radicals; and

R<sup>3</sup> represents alkyl, haloalkyl, alkenyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl and heteroaralkyl radicals;

R<sup>4</sup> represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

35

R<sup>7</sup> and R<sup>7'</sup> independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

5 R<sup>8</sup> represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, 10 heterocyclylamino and alkoxycarbonyl radicals; and

n is an integer of from 0 to 6.

4. Compound of Claim 2 wherein R represents 15 alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocycliloxy carbonyl, 20 heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy carbonyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the 25 substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they 30 are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are 35 attached represent heterocycloalkyl and heteroaryl radical;



- R<sup>1</sup> represents CH<sub>2</sub>C(O)NHCH<sub>3</sub>, C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), methyl, propargyl, t-butyl, isopropyl and sec-butyl radicals, and amino acid  
5 side chains selected from the group consisting of asparagine, valine, S-methyl cysteine, allo-iso-leucine, iso-leucine, and beta-cyano alanine side chains;
- R<sup>2</sup> represents CH<sub>3</sub>SCH<sub>2</sub>CH<sub>2</sub>-, iso-butyl, n-butyl, benzyl,  
10 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;
- R<sup>3</sup> represents propyl, isoamyl, n-butyl, isobutyl, cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl  
15 radicals;
- R<sup>4</sup> represents hydrogen and methyl, ethyl, i-propyl, propyl, n-butyl, t-butyl, 1,1-dimethylpropyl, cyclohexyl and phenyl radicals;  
20
- R<sup>7</sup> and R<sup>7'</sup> independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;  
25
- R<sup>8</sup> represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio,  
30 phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl; and
- 35 n represents an integer of from 0 to 6.

5. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

5 6. A pharmaceutical composition comprising a compound of Claim 2 and a pharmaceutically acceptable carrier.

10 7. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 5.

15 8. Method of Claim 7 wherein the retroviral protease is HIV protease.

9. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 5.

20 10. Method of Claim 9 wherein the retroviral infection is an HIV infection.

25 11. Method for treating AIDS comprising administering an effective amount of a composition of Claim 5.

30 12. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 6.

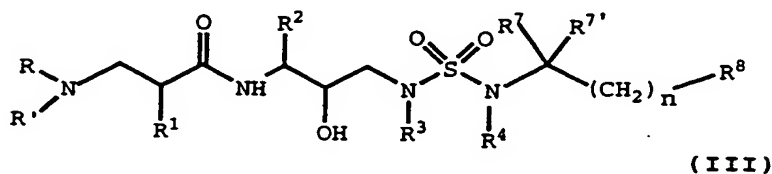
13. Method of Claim 12 wherein the retroviral protease is HIV protease.

35 14. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 6.

15. Method of Claim 12 wherein the retroviral infection is an HIV infection.

5 16. Method for treating AIDS comprising administering an effective amount of a composition of Claim 6.

10 17. Compound represented by the formula:



wherein:

15 R represents hydrogen, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkyl alkoxy carbonyl, cycloalkyl alkanoyl, alkanoyl, aralkanoyl, aroyl, 20 aryloxy carbonyl, aryloxy carbonyl alkyl, alkoxy alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyloxy carbonyl, heterocyclyl alkanoyl, heterocyclyl alkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, 25 heteroaroyl, aminocarbonyl, amino alkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkyl alkyl, heteroaryl, heteroaralkyl, 30 heterocycloalkyl, heterocycloalkyl radicals, or where said amino alkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they

are attached form a heterocycloalkyl or heteroaryl radical;

5 R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

10 R<sup>1</sup> represents hydrogen, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>CH<sub>3</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SH), -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO<sub>2</sub>)  
15 derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine, allo-threonine, serine, aspartic acid, beta-cyano alanine and valine side chains;

20 R<sup>2</sup> represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO<sub>2</sub>, -C≡N, CF<sub>3</sub>, -OR<sup>9</sup>, -SR<sup>9</sup>, wherein R<sup>9</sup>  
25 represents hydrogen and alkyl radicals;

R<sup>3</sup> represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,  
30 aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or  
35 in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they

are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

5

R<sup>4</sup> represents hydrogen and radicals as defined for R<sup>3</sup>;

10 R<sup>7</sup> and R<sup>7'</sup> independently represent radicals as defined for R<sup>3</sup> and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R<sup>7</sup> and R<sup>7'</sup> together with the carbon atom to which they are attached form a cycloalkyl radical;

15

R<sup>8</sup> represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heterobaryl radicals and radicals represented by the formulas C(O)R<sup>16</sup>, CO<sub>2</sub>R<sup>16</sup>, SO<sub>2</sub>R<sup>16</sup>, SR<sup>16</sup>, CONR<sup>16</sup>R<sup>17</sup>, CF<sub>3</sub> and NR<sup>16</sup>R<sup>17</sup>;

20

wherein R<sup>16</sup> and R<sup>17</sup> independently represent hydrogen and radicals as defined for R<sup>3</sup>, or R<sup>16</sup> and R<sup>17</sup> together with a nitrogen to which they are attached in the formula NR<sup>16</sup>R<sup>17</sup> represent heterocycloalkyl and heteroaryl

25

radicals;

n represents an integer of from 0 to 6.

18. Compound of Claim 17 wherein R represents  
30 hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxy-carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy-carbonyl, aryloxy-carbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl,  
35 heterocyclyloxy-carbonyl, heterocyclylalkanoyl, heterocyclylalkoxy-carbonyl, heteroaralkanoyl,

heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted  
5 aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said  
10 aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R<sup>3</sup> or  
15 R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

R<sup>1</sup> represents hydrogen, alkyl, alkenyl and alkynyl  
20 radicals, and amino acid side chains selected from the group consisting of asparagine, valine, threonine, allo-threonine, isoleucine, tert-leucine, S-methyl cysteine and the sulfone and sulfoxide derivatives thereof, alanine, and allo-isoleucine;

25 R<sup>2</sup> represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR<sup>9</sup> and -SR<sup>9</sup> wherein R<sup>9</sup> represents hydrogen and alkyl  
30 and halogen radicals;

R<sup>3</sup> represents alkyl, halalkyl, alkenyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and  
35 heteroaralkyl radicals;

R<sup>4</sup> represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

R<sup>7</sup> and R<sup>7'</sup> independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

R<sup>8</sup> represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocyclylamino and alkoxy carbonyl radicals; and

n represents an integer of from 0 to 6.

19. Compound of Claim 17 wherein R represents hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxy carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy-carbonyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they

are attached form a heterocycloalkyl or heteroaryl radical;

5 R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

10 R<sup>1</sup> represents hydrogen, methyl, propargyl, t-butyl, isopropyl and sec-butyl radicals, and amino acid side chains selected from the group consisting of asparagine, valine, S-methyl cysteine, allo-iso-leucine, iso-leucine, threonine, serine, aspartic acid, beta-cyano alanine, and allo-threonine side chains;

15 R<sup>2</sup> represents CH<sub>3</sub>SCH<sub>2</sub>CH<sub>2</sub>-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;

20 R<sup>3</sup> represents propyl, isobutyl, isoamyl, n-butyl, n-propyl, cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl radicals;

25 R<sup>4</sup> represents hydrogen and methyl, ethyl, i-propyl, n-butyl, t-butyl, 1,1-dimethylpropyl, cyclohexyl and phenyl radicals;

30 R<sup>7</sup> and R<sup>7'</sup> independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;

35 R<sup>8</sup> represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio,



phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl; and

5

n represents an integer of from 0 to 6.

20. A pharmaceutical composition comprising a compound of Claim 17 and a pharmaceutically acceptable carrier.

10

21. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 20.

15

22. Method of Claim 21 wherein the retroviral protease is HIV protease.

23. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 20.

20

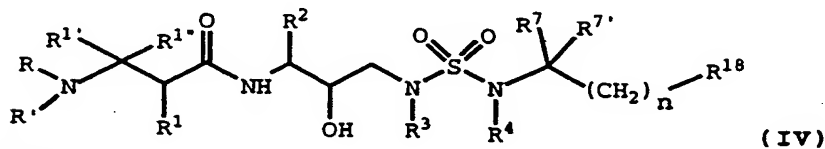
24. Method of Claim 23 wherein the retroviral infection is an HIV infection.

25

25. Method for treating AIDS comprising administering an effective amount of a composition of Claim 20.

26. Compound represented by the formula:

30



wherein:

R represents hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, alkoxyalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

R<sup>1</sup> represents hydrogen, -CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>CH<sub>3</sub>, -CONH<sub>2</sub>, -CH<sub>2</sub>C(O)NHCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>(SH), -C(CH<sub>3</sub>)<sub>2</sub>(SCH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]CH<sub>3</sub>), -C(CH<sub>3</sub>)<sub>2</sub>(S[O]<sub>2</sub>CH<sub>3</sub>), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO<sub>2</sub>) derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine,

allo-threonine, serine, aspartic acid, beta-cyano alanine and valine side chains;

5 R<sup>1'</sup> and R<sup>1''</sup> independently represent hydrogen and radicals as defined for R<sup>1</sup>, or one of R<sup>1'</sup> and R<sup>1''</sup>, together with R<sup>1</sup> and the carbon atoms to which R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> are attached, represent a cycloalkyl radical;

10 R<sup>2</sup> represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals; -NO<sub>2</sub>, -C≡N, CF<sub>3</sub>, -OR<sup>9</sup> and -SR<sup>9</sup>, wherein R<sup>9</sup> represents hydrogen and alkyl radicals;

15 R<sup>3</sup> represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said  
20 substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said  
25 substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

30 R<sup>4</sup> represents hydrogen and radicals as defined by R<sup>3</sup>;

R<sup>7</sup> and R<sup>7'</sup> independently represent radicals as defined for R<sup>3</sup> and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-  
35 isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R<sup>7</sup> and R<sup>7'</sup> together with the carbon

atom to which they are attached form a cycloalkyl radical;

5  $R^8$  represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas  $C(O)R^{16}$ ,  $CO_2R^{16}$ ,  $SO_2R^{16}$ ,  $SR^{16}$ ,  $CONR^{16}R^{17}$ ,  $CF_3$  and  $NR^{16}R^{17}$ ;

10 wherein  $R^{16}$  and  $R^{17}$  independently represent hydrogen and radicals as defined for  $R^3$ , or  $R^{16}$  and  $R^{17}$  together with a nitrogen to which they are attached in the formula  $NR^{16}R^{17}$  represent heterocycloalkyl and heteroaryl radicals;

15  $n$  represents an integer of from 0 to 6.

27. Compound of Claim 26 wherein  $R$  represents an arylalkanoyl, heteroaroyl, aryloxyalkanoyl, aryloxyalkanoyl, alkanoyl, aminocarbonyl, mono-  
20 substituted aminoalkanoyl, or disubstituted aminoalkanoyl, or mono-or dialkylaminocarbonyl radical;

$R'$  represents hydrogen and radicals as defined for  $R^3$  or  $R$  and  $R'$  together with the nitrogen to which they are  
25 attached represent a heterocycloalkyl or heteroaryl radical;

$R^1$ ,  $R^{1'}$  and  $R^{1''}$  independently represent hydrogen and alkyl radicals having from 1 to about 4 carbon atoms,  
30 alkenyl, alkynyl, aralkyl radicals, and radicals represented by the formula  $-CH_2C(O)R^*$  or  $-C(O)R^*$  wherein  $R^*$  represents  $R^{38}$ ,  $-NR^{38}R^{39}$  and  $OR^{38}$  wherein  $R^{38}$  and  $R^{39}$  independently represent hydrogen and alkyl radicals having from 1 to about 4 carbon atoms;

35

R<sup>2</sup> represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR<sup>9</sup> and -SR<sup>9</sup> wherein R<sup>9</sup> represents hydrogen and alkyl radicals; and

R<sup>3</sup> represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals;

R<sup>4</sup> represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

R<sup>7</sup> and R<sup>7'</sup> independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

R<sup>8</sup> represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocycllamino and alkoxy carbonyl radicals.

28. Compound of Claim 26 wherein R represents an arylalkanoyl, aryloxy carbonyl, aryloxyalkanoyl, heteroaroyl, alkanoyl, aminocarbonyl, mono-substituted aminoalkanoyl, or disubstituted aminoalkanoyl, or mono- or dialkylaminocarbonyl radical;

R' represents hydrogen and radicals as defined for R<sup>3</sup> or R and R' together with the nitrogen to which they are

attached represent a heterocycloalkyl or heteroaryl radical;

5 R<sup>1</sup>, R<sup>1'</sup> and R<sup>1''</sup> independently represent hydrogen, methyl, ethyl, benzyl, phenylpropyl, -C(O)NH<sub>2</sub> and propargyl radicals;

10 R<sup>2</sup> represents CH<sub>3</sub>SCH<sub>2</sub>CH<sub>2</sub>-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;

15 R<sup>3</sup> represents propyl, isobutyl, isoamyl, n-butyl, n-propyl, cyclohexyl, cyclohexylmethyl, benzyland pyridylmethyl radicals;

R<sup>4</sup> represents hydrogen and methyl, ethyl, i-propyl, n-propyl, n-butyl, t-butyl, 1,1-dimethylpropyl and phenyl radicals;

20 R<sup>7</sup> and R<sup>7'</sup> independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;

25 R<sup>8</sup> represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio, phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or  
30 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl.

29. Compound of Claim 26 wherein R<sup>4</sup> and R<sup>5</sup>  
35 together with the nitrogen atom to which they are bonded

form a pyrrolidinyl, piperidinyl, morpholinyl or piperazinyl radical.

30. A pharmaceutical composition comprising, a  
5 compound of Claim 26 and a pharmaceutically acceptable carrier.

31. Method of inhibiting a retroviral protease  
comprising administering a protease inhibiting amount of  
10 a composition of Claim 29.

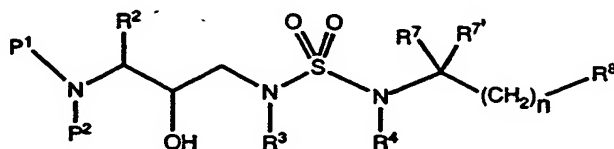
32. Method of Claim 30 wherein the retroviral  
protease is HIV protease.

33. Method of treating a retroviral infection  
comprising administering an effective amount of a  
15 composition of Claim 29.

34. Method of Claim 32 wherein the retroviral  
20 infection is an HIV infection.

35. Method for treating AIDS comprising  
administering an effective amount of a composition of  
Claim 29.  
25

36. A compound represented by the formula:



30 wherein:

p1 and p2 independently represent hydrogen,  
alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl,

- cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxy carbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;
- R<sup>2</sup> represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO<sub>2</sub>, -C≡N, CF<sub>3</sub>, -OR<sup>9</sup>, -SR<sup>9</sup>, wherein R<sup>9</sup> represents hydrogen and alkyl radicals;
- R<sup>3</sup> represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl,



alkylthioalkyl and arylthioalkyl and the sulfone and sulfoxide derivatives thereof;

R<sup>4</sup> represents hydrogen and radicals as defined by R<sup>3</sup>;

5

R<sup>7</sup> and R<sup>7'</sup> independently represent radicals as defined for R<sup>3</sup>; amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-

10 t-butylglycine; radicals represented by the formulas -C(O)R<sup>16</sup>, -CO<sub>2</sub>R<sup>16</sup>, -SO<sub>2</sub>R<sup>16</sup>, -SR<sup>16</sup>, -CONR<sup>16</sup>R<sup>17</sup>, -CF<sub>3</sub> and -NR<sup>16</sup>R<sup>17</sup>; or R<sup>7</sup> and R<sup>7'</sup> together with the carbon atom to which they are attached form a cycloalkyl radical;

15 R<sup>8</sup> represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R<sup>16</sup>, CO<sub>2</sub>R<sup>16</sup>, SO<sub>2</sub>R<sup>16</sup>, SR<sup>16</sup>, CONR<sup>16</sup>R<sup>17</sup>, CF<sub>3</sub> and NR<sup>16</sup>R<sup>17</sup>;

20 wherein R<sup>16</sup> and R<sup>17</sup> independently represent hydrogen and radicals as defined for R<sup>3</sup>, or R<sup>16</sup> and R<sup>17</sup> together with a nitrogen to which they are attached in the formula NR<sup>16</sup>R<sup>17</sup> represent heterocycloalkyl and heteroaryl radicals;

25

n represents an integer of from 0 to 6.

37. A pharmaceutical composition comprising a compound of Claim 36 and a pharmaceutically acceptable carrier.

30

38. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 37.

35

39. Method of Claim 38 wherein the retroviral protease is HIV protease.

5 40. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 37.

10 41. Method of Claim 39 wherein the retroviral infection is an HIV infection.

42. Method for treating AIDS comprising administering an effective amount of a composition of Claim 37.